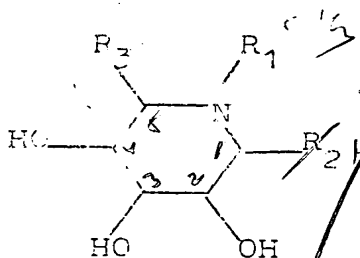


WHAT IS CLAIMED IS :

1. A compound which is a 3,4,5-trihydroxypiperidine of the following general formula or its pharmaceutically acceptable bioprecursor:



in which

$R_1$  and  $R_2$  are the same or different and each is  $H$  or an optionally substituted, straight-chain, branched, or cyclic saturated or unsaturated aliphatic hydrocarbon radical or an optionally substituted aromatic or heterocyclic radical, and  $R_2$  is  $-H$ ,  $-OH$ ,  $-OR'$ ,  $-SH$ ,  $-SR'$ ,  $-NH_2$ ,  $-NHR'$ ,  $-NR'_2$ ,  $-NHC(=O)CH_3$ ,  $-NHC(=O)R'$ ,  $-NHC(=O)CH_2R'$ ,  $-NHC(=O)NR''CH_2-$ ,  $-COOH$ ,  $-COOR'$ ,  $-OCH_2CH_2-$ ,  $-CO-NHCH_2-$ ,  $R'CO-NR''CH_2-$ ,  $R'SO_2-NHCH_2-$ ,  $R'SO_2-NR''CH_2$ ,  $R'NH-C(=O)-NH-CH_2-$ ,  $R'NH-C(=S)-NH-CH_2-$ ,  $R'-O-C(=O)-NH-CH_2-$ ,  $-SO_3H$ ,  $-CN$ ,  $-CONH_2$ ,  $-CONHR'$  or  $-CONR'R''$ , wherein

(R<sup>1</sup>) and R<sup>2</sup> are the same or different and each has any one of the meanings given above for R<sub>1</sub>, provided that when R<sub>3</sub> is -CH<sub>2</sub>OH and R<sub>2</sub> is H or OH; R<sub>3</sub> is H and R<sub>1</sub> is H, CH<sub>3</sub>, SO<sub>3</sub>H, -CN or CH<sub>2</sub>-NH<sub>2</sub>; or R<sub>3</sub> is -CH<sub>2</sub>-NH<sub>2</sub> and R<sub>2</sub> is OH, then R<sub>1</sub> is other than hydrogen.

1033

2. A compound according to claim 1, in which  $R_1$ ,  $R'$  and  $R''$  are the same or different and each is alkyl having from 1 to 30 C atoms, alkenyl or alkynyl having from 2 to 18 C atoms, a monocyclic, bicyclic or tricyclic radical having from 3 to 10 C atoms, which is saturated, mono-unsaturated or di-unsaturated, aryl having 6 or 10 C atoms, or a heterocyclic radical having from 3 to 8 ring members which contains 1, 2, 3 or 4 heteroatoms and to which a benzene ring or a further said heterocyclic radical can be fused, each of the above groups being optionally substituted by from 1 to 5 substituents.

3. A compound according to claim 1 or claim 2 in which  $R_3$  is  $-H$ ,  $-CH_3$ ,  $-CH_2OH$ ,  $-CH_2NH_2$ ,  $NHR'-CH_2-$ ,  $NR'R''-CH_2-$ ,  $R'CONH-CH_2-$ ,  $R'CO-NR''CH_2-$ ,  $Hal-CH_2-$ ,  $R'O-CH_2-$ ,  $R'COOCH_2-$ ,  $R'SO_2O-CH_2-$ ,  $R'SO_2NHCH_2-$ ,  $R'SO_2-NR''CH_2-$ ,  $R'NH-CO-NH-CH_2-$ ,  $R'NHCS-NH-CH_2-$ ,  $R'O-CO-NH-CH_2-$ ,  $-CN$ ,  $-COOH$ ,  $-COOR'$ ,  $-CONH_2$ ,  $-CONHR'$  or  $-CONR'R''$  wherein  $R'$  and  $R''$  are the same or different and each has any of the meanings given above for  $R_1$ .

4. A compound according to claim 1 in which  $R_2$  is  $-H$ ,  $-OH$ ,  $-SO_3H$ ,  $-CN$ ,  $-CH_2NH_2$ ,  $-CH_2NH-[C_1 \text{ to } C_{14}\text{-alkyl}]$ ,  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-[C_1 \text{ to } C_{14}\text{-alkyl}]$ ,  $-CH_2NH-SO_2-[C_1 \text{ to } C_{14}\text{-alkyl}]$ ,  $-CH_2NH-SO_2\text{-phenyl}$ ,  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}\text{-phenyl}$ ,  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-NH-[C_1 \text{ to } C_{14}\text{-alkyl}]$ ,  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-NH\text{-phenyl}$ ,  $-CH_2NH-\overset{\overset{S}{\parallel}}{C}-NH-[C_1 \text{ to } C_{14}\text{-alkyl}]$ ,  $-CH_2NH-\overset{\overset{S}{\parallel}}{C}-NH\text{-phenyl}$ ,  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-O-[C_1 \text{ to } C_{14}\text{-alkyl}]$  or  $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-O\text{-phenyl}$ , wherein phenyl is unsubstituted or substituted by methyl, ethyl, methoxy, ethyl, methoxy, chlorine, bromine or nitro.

5. A compound according to claim ~~4~~<sup>47</sup> 1, in which  $R_2$  is -H,  $-SO_3H$  or  $-CN$ .

6. A compound according to claim 5 in which  $R_2$  is -H.

7. A compound according to claim ~~1~~<sup>47</sup> 1, in which  $R_3$  is -H,  $-CH_2OH$ ,  $-CH_3$ ,  $-CH_2NH_2$ ,  $-CH_2NH-(C_1 \text{ to } C_6\text{-alkyl})$ ,  $-CH_2NH-CO-(C_1 \text{ to } C_6\text{-alkyl})$  or  $CH_2-O-(C_1-C_6\text{-alkyl})$ .

8. A compound according to claim ~~1~~<sup>47</sup> 1 in which  $R_3$  is  $-CH_2OH$ .

9. A compound according to claim ~~1~~<sup>47</sup> 1 in which  $R_2$  is hydrogen and  $R_3$  is  $-CH_2OH$ .

10. A compound according to claim ~~1~~<sup>47</sup> 1 which is N-methyl-1-nojirimycin, N-ethyl-1-nojirimycin, N-n-butyl-1-nojirimycin, N-benzyl-1-nojirimycin, N-allyl-1-nojirimycin, (2-methoxy-ethyl)-1-nojirimycin, N-methyl-1-desoxy-nojirimycin, N-ethyl-1-desoxynojirimycin, N-n-propyl-1-desoxy-nojirimycin, N-n-butyl-1-desoxy-nojirimycin, N-n-pentyl-1-desoxy-nojirimycin, N-n-hexyl-1-desoxynojirimycin, N-iso-butyl-1-desoxy-nojirimycin, N-benzyl-1-desoxynojirimycin, N-allyl-1-desoxy-nojirimycin, N-(2-methoxyethyl)-1-desoxynojirimycin, N-methyl-1-desoxy-nojirimycin-1-sulfonic acid, N-octyl-1-desoxynojirimycin, N-nonyl-1-desoxy-nojirimycin, 1-tosylaminomethyl-1-desoxy-nojirimycin, N-methyl-1-tosylaminomethyl-1-desoxynojirimycin, N-nonyl-1-acetylaminomethyl-1-desoxynojirimycin, N-benzoylaminomethyl-1-desoxynojirimycin, N-propargyl-1-

*part 4*  
*cont'd*  
dexosynojirimycin or N-(2-methylmercaptoethyl)-1-desoxy-  
noijirimycin.

*a3* <sup>*12*</sup> ~~47~~ 1 A compound of claim 1 which is N-(n-<sup>*Neptyl*</sup>~~Heptyl~~)-  
1-desoxynojirimycin.

*a* <sup>*47*</sup> 12. A compound of claim 1 which is N-Methyl-1-  
desoxynojirimycin.

*a* <sup>*47*</sup> 13. A compound of claim 1 which is N-Ethyl-1-  
desoxynojirimycin.

*a* <sup>*13*</sup> ~~47~~ 14. A compound of claim 1 which is N-Benzyl-1-  
desoxynojirimycin.

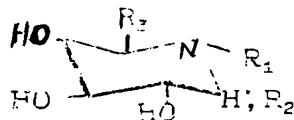
*a* <sup>*47*</sup> 15. A compound of claim 1 which is N-(n-Butyl)-1-  
desoxynojirimycin.

*a* <sup>*14*</sup> ~~47~~ 1 A compound of claim 1 which is N-(8-Hydroxy-  
ethyl)-1-desoxynojirimycin.

17. A compound according to claim 1 other than said bioprecursors in which

$R_1$  is an optionally substituted straight-chain, branched or cyclic saturated or unsaturated aliphatic hydrocarbon radical or an optionally substituted aromatic or heterocyclic radical and  $R_2$  is H, OH, alkoxy, amino, monoalkylamino or dialkylamino,  $-SO_3H$  or  $-CN$ , and  $R_3$  is  $CH_2OH$ .

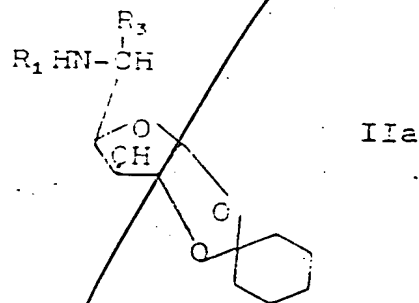
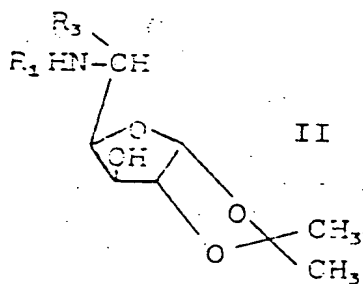
*a* ~~17~~ ~~18~~ A compound according to claim ~~1~~ ~~other than~~ ~~said bioprecursors~~ which has the steric formula



~~wherein~~

*H*  ~~$R_1$ ,  $R_2$  and  $R_3$  have the same meaning as defined hereinbefore in claim 1~~

19. A process for the production of a compound according to claim 1 which comprises subjecting to hydrolysis a compound of the general formula II or IIa

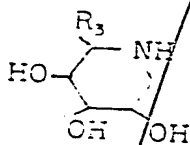


in which

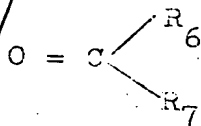
$R_1$  and  $R_3$  have the same meaning as defined hereinbefore in claim 1, formula I,

a) so as to remove the isopropylidene or cyclohexylidene protective group; or

b) which comprises reacting, when  $R_2$  is hydrogen, a compound of the general formula V



wherein  $R_3$  has the same meaning as defined hereinbefore in claim 1, formula I, with a carbonyl compound of the general formula VI



in which

$R_6$  and  $R_7$  are the same or different and each has the same meaning as indicated above for  $R_1$  or  $R_6$  and  $R_7$  are members of an alicyclic or heterocyclic ring, in the presence of a hydrogen donor reducing agent, or  
c) which comprises reacting, when  $R_2$  is hydrogen and  $R_1$  is alkyl having the same meaning as in claim 1, formula I hereinabove,  
with a reactive alkylating agent of the general formula IX



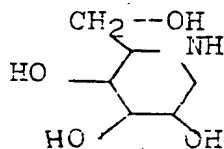
IX

in which

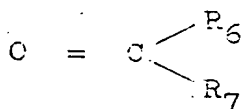
$R_1$  has the same meaning as defined immediately hereinbefore and

Z is an easily eliminated leaving group which is customary in alkylating agents.

20. A process for the production of a compound according to claim 17 in which compound  $R_2$  is hydrogen, which comprises reacting a compound of the formula



a) with a carbonyl compound of the formula VI



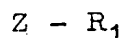
VI

in which

$R_6$  and  $R_7$  are the same or different and each is hydrogen or has the same meaning as indicated above for  $R_1$  or  $R_6$  and  $R_7$  are members of an alicyclic or heterocyclic ring,

in the presence of a hydrogen donor reducing agent, or

b) with a reactive alkylating agent of the general formula IX



IX

in which

$R_1$  has the same meaning as defined immediately hereinbefore, and

Z is an easily eliminated leaving group which is customary in alkylating agents.



21. A process according to claim 19 a) in which the reaction is carried out at from ambient temperature to the reflux temperature of the reaction medium.

22. A process according to claim 19 b) in which the reaction is carried out at from ambient temperature to the reflux temperature of the reaction medium.

23. A process according to claim 19 in which the reaction is carried out in the presence of an inert solvent.

a 24. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim <sup>47</sup>1 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

sub 2  
a 25. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim <sup>47</sup>1 in the form of a sterile or physiologically isotonic aqueous solution.

<sup>20</sup>  
~~26.~~ A composition according to claim <sup>18</sup>~~24~~ or <sup>19</sup>~~25~~ containing from 0.5 to 95% by weight of the said active ingredient.

27. A medicament in dosage unit form comprising  
an effective amount of a compound according to claim <sup>47</sup>~~1~~  
and an inert pharmaceutical carrier.

<sup>22</sup>~~28~~. A medicament of claim <sup>21</sup>~~27~~ in the form of  
tablets, pills, dragees, capsules, ampoules, or  
suppositories.

<sup>a</sup> <sup>hyperlipaemia</sup>  
~~hyperlipaemia~~ 29. A method of combating adiposity, diabetes and/or  
hyperlipaemia in warm-blooded animal which comprises  
administering to the said animal an effective amount of  
<sup>a</sup> <sup>sub 3</sup> an active compound according to claim <sup>47</sup>~~1~~ either alone or  
in admixture with a diluent or in the form of a medicament.

<sup>28</sup>~~30~~. A method according to claim <sup>27</sup>~~29~~ in which the  
active compound is administered in an amount of 0.01 mg to 100 mg  
per kg body weight per day.

<sup>29</sup>~~31~~. A method according to claim <sup>28</sup>~~30~~ in which the  
animal is a ruminant.

<sup>30</sup>~~32~~. A method according to claim <sup>27</sup>~~29~~ in which the  
active compound is administered orally.

<sup>a</sup> 33. An animal feedstuff which contains an effective  
amount of an active compound according to claim <sup>47</sup>~~1~~ either  
alone or in admixture with a diluent.

a  
1  
34. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim <sup>18</sup>~~17~~ in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

1 Oct 45  
a  
35. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim <sup>18</sup>~~17~~ in the form of a sterile or physiologically isotonic aqueous solution.

a  
36. A medicament in dosage unit form comprising an effective amount of a compound according to claim <sup>18</sup>~~17~~ and an inert pharmaceutical carrier.

<sup>26</sup>  
~~37.~~ A medicament of claim <sup>25</sup>~~36~~ in the form of tablets, pills, dragees, capsules, ampoules, or suppositories.

1 Oct 46  
3a  
38. A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount of an active compound according to claim <sup>18</sup>~~17~~ either alone or in admixture with a diluent or in the form of a medicament.

a 39. An animal feedstuff which contains an effective amount of an active compound according to claim <sup>18</sup>~~17~~ either alone or in admixture with a diluent.

40. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim 18 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

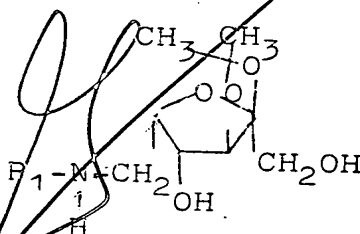
41. A pharmaceutical composition containing as an active ingredient an effective amount of a compound according to claim 18 in the form of a sterile or physiologically isotonic aqueous solution.

42. A medicament comprising an effective amount of a compound of claim 18 in the form of tablets, pills, dragees, capsules, ampoules, or suppositories.

43. A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount of an active compound according to claim 18 either alone or in admixture with a diluent or in the form of a medicament.

44. An animal feedstuff which contains an effective amount of an active compound according to claim 18 either alone or in admixture with a diluent.

45. A process for the production of a compound according to claim <sup>47</sup>1 which comprises hydrolyzing a compound of the general formula (XXI)



with strong mineral acid of pH 1 at -20 to +20°C and then hydrogenating the hydrolyzed product at pH 4 to 6 with H<sub>2</sub>/Raney-Nickel, H<sub>2</sub>/Pt O<sub>2</sub> or sodium borohydride.

15. A compound of claim <sup>47</sup>1 which is N-(5'-hydroxy-pentyl)-1-desoxynojirimycin.

hydroxy-n-pentyl  
hydroxypentyl